

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

| | | |
|---|--|---|
| Applicant's or agent's file reference P 04/032-do/gs | FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below. | |
| International application No. PCT/EP2005/001445 | International filing date (day/month/year) 14/02/2005 | (Earliest) Priority Date (day/month/year) 26/02/2004 |
| Applicant MERCK PATENT GMBH | | |

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 6 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☒ **Certain claims were found unsearchable** (See Box II).

3. ☐ **Unity of invention is lacking** (see Box III).

4. With regard to the title,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

BENZIMIDAZOLYL DERIVATIVES AS KINASE INHIBITORS

5. With regard to the abstract,

☐ the text is approved as submitted by the applicant.

☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regard to the drawings,

- a. the figure of the **drawings** to be published with the abstract is Figure No. _____

☐ as suggested by the applicant.

☐ as selected by this Authority, because the applicant failed to suggest a figure.

☐ as selected by this Authority, because this figure better characterizes the invention.

- b. ☐ none of the figures is to be published with the abstract.

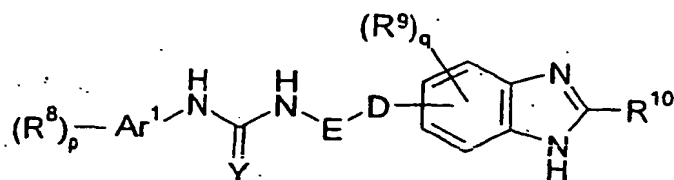
INTERNATIONAL SEARCH REPORT

International application No.

PCT/EP2005/001445

Box No. IV Text of the abstract (Continuation of item 5 of the first sheet)

The present invention relates to benzimidazolyl derivatives of formula I



with the definitions of R^8 , p , Ar^1 , E , D , R^9 , q and R^{10} according to Claim 1, the use of the compounds of formula I as inhibitors of one or more kinases, the use of the compounds of formula I for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP2005/001445

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D401/12 C07D235/32 C07D235/30 C07D235/24 A61K31/4184

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|-----------------------|
| P,X | WO 2004/037789 A (MERCK PATENT GMBH; BUCHSTALLER, HANS-PETER; WIESNER, MATTHIAS; SCHADT,) 6 May 2004 (2004-05-06) claims 1,2,7-31 ----- | 1,2,5-30 |
| E | WO 2005/053692 A (THE SCRIPPS RESEARCH INSTITUTE; LIANG, CONGXIN) 16 June 2005 (2005-06-16) the whole document ----- | 1-31 |
| P,A | WO 2004/078748 A (BAYER PHARMACEUTICALS CORPORATION; DUMAS, JACQUES; BOYER, STEPHEN; VER) 16 September 2004 (2004-09-16) the whole document ----- -/-- | 1-31 |

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

24 August 2005

Date of mailing of the international search report

12/09/2005

Name and mailing address of the ISA

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Authorized officer

Von Daacke, A

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP2005/001445

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| A | WO 02/44156 A (GLAXO GROUP LIMITED; GLAXOSMITHKLINE K.K; CHEUNG, MUI; HARRIS, PHILIP,) 6 June 2002 (2002-06-06) the whole document ----- | 1-31 |
| A | WO 02/081463 A (TELIK, INC; SANWA KAGUKU KENKYUSHO CO., LTD) 17 October 2002 (2002-10-17) claims 1-53; table 11 ----- | 1-31 |
| X | DATABASE BEILSTEIN XP002341852 Database accession no. BRN 8049988 abstract & BARALDI, P.G. ET AL.: J.MED.CHEM., vol. 41, no. 17, 1998, pages 3174-3185, ----- | 30 |
| X | DATABASE BEILSTEIN XP002341853 Database accession no. BRN 8403709 abstract & MURAKAMI, Y. ET AL.: BIOORG.MED.CHEM., vol. 7, no. 8, 1999, pages 1703-1714, ----- | 30 |

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2005/001445

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 12, 22-27 and parts of Claims 14-21 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP2005/001445

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|---|--|
| WO 2004037789 A | 06-05-2004 | AU 2003268926 A1 CA 2503445 A1 WO 2004037789 A2 EP 1562905 A2 | 13-05-2004 06-05-2004 06-05-2004 17-08-2005 |
| WO 2005053692 A | 16-06-2005 | WO 2005054183 A2 WO 2005053692 A1 WO 2005053614 A2 WO 2005053686 A1 | 16-06-2005 16-06-2005 16-06-2005 16-06-2005 |
| WO 2004078748 A | 16-09-2004 | US 2004235829 A1 US 2005032798 A1 US 2004229937 A1 WO 2004078747 A1 WO 2004078748 A2 | 25-11-2004 10-02-2005 18-11-2004 16-09-2004 16-09-2004 |
| WO 0244156 A | 06-06-2002 | AU 3243902 A EP 1341771 A2 JP 2004517080 T WO 0244156 A2 US 2004082583 A1 | 11-06-2002 10-09-2003 10-06-2004 06-06-2002 29-04-2004 |
| WO 02081463 A | 17-10-2002 | BR 0208667 A CA 2442776 A1 CN 1524078 A EP 1383757 A1 JP 2004525951 T WO 02081463 A1 US 2003092728 A1 US 2004127513 A1 | 03-08-2004 17-10-2002 25-08-2004 28-01-2004 26-08-2004 17-10-2002 15-05-2003 01-07-2004 |